Application No. 10/580,108 Amendment dated April 13, 2011

After Final Office Action of October 13, 2010

AMENDMENTS TO THE CLAIMS

Claim 1. (Previously Presented) A targeted glycoconjugate comprising a bioactive

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agent and a targeting compound, wherein the targeting compound is a glycoprotein, glycolipid or

carbohydrate, and wherein the bioactive agent and targeting compound are joined by a modified

UDP galactose acetyl group (UDP-GalNAc), and wherein the modified UDP-GalNAc comprises

a ketone group attached to the C2 position of the galactose ring.

Claim 2. (Previously Presented) The glycoconjugate of claim 1 wherein the

bioactive agent comprises a polypeptide; releasing factor; releasing factor inhibitor;

carbohydrate; nucleic acid; vaccine; anti-antibiotic; antiviral agent; anti-fungal agent; analgesics

anesthetic; anti-helminthic; anti-arthritic agent; anti-asthmatic agent; anticonvulsant;

antidepressant; anti-diabetic agent; anti-diarrheal; anticonvulsant; antihistamine; anti-

inflammatory agent; toxin, anti- migraine preparation; anti-nauseant; anticancer agent; anti-

parkinsonism drug; anti-psychotic; antipyretic; anti-spasmodic; anti-cholinergic;

sympathomimetic; xanthine derivative; cardiovascular agent; anti-arrhythmic; anti-

hyperlipidemic agent; anti-hypertensive; diuretic; anti-diuretic; receptor agonist; receptor

antagonist; vasodilator; central nervous system stimulant; vasoconstrictor; cough and cold

preparation; enzyme inhibitor; hormone; hypnotic agent; muscle relaxant; parasympatholytic;

central nervous system stimulant; hypnotics, leukotrine inhibitor; mitotic inhibitor; genetic

material; psychostimulant; sedative; anabolic agent; vitamin; herbal remedy; anti-metabolic

agent; anxiolytic; attention deficit disorder (ADD) drug; attention deficit hyperactivity disorder

(ADHD) drug; neuroleptic agent; or tranquilizer.

Claim 3. (Cancelled)

Claim 4-42. (Cancelled)

Claim 43. (Original) A pharmaceutical composition comprising the glycoconjugate of

claim 1 and a pharmaceutically acceptable carrier.

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Claim 44. (Previously Presented) A kit comprising the glycoconjugate of claim 1

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and instructions for use in a therapeutic or diagnostic method.

Claim 45. (Original) A glycoconjugate according to claim 1 for use in medical

therapy.

Claims 46-48. (Cancelled)

Claim 49. (Previously Presented) A targeted glycoconjugate comprising a bioactive

agent and a targeting compound, wherein the targeting compound is an antibody, and wherein

the bioactive agent and targeting compound are joined by a modified UDP galactose acetyl

group (UDP-GalNAc), and wherein the UDP-GalNAc comprises a reactive functional group

attached to the C2 position of the galactose ring, wherein the reactive functional group is a

ketone group.

Claim 50. (New) A targeted glycoconjugate comprising a bioactive agent and a

targeting compound, produced by a process comprising the steps of:

(a) incubating a reaction mixture comprising a β (1, 4) - galactosyltransferase I variant

with a targeting compound and a donor molecule comprising a modified UDP galactose acetyl

group (UDP-GalNAc) so as to form a targeting-modified saccharide compound; and

(b) incubating the targeting-modified saccharide compound formed in (a) and a bioactive

agent under conditions effective to generate a covalent bond between the modified saccharide

and the bioactive agent,

wherein the galactosyltransferase I variant is selected from the group consisting of

Y289L, Y289I, and Y289N, wherein the targeting compound is a glycoprotein, glycolipid or

carbohydrate, wherein the bioactive agent and targeting compound are joined by a modified

UDP-GalNAc, wherein the modified UDP-GalNAc comprises a ketone group attached to the C2

position of the galactose ring.

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Claim 51. (New) A targeted glycoconjugate comprising a bioactive agent and a targeting compound, produced by a process comprising the steps of:

- (a) incubating a reaction mixture of a donor molecule comprising a modified UDP galactose acetyl group (UDP-GalNAc) and a bioactive active agent under conditions effective to generate a covalent bond between the modified UDP-GalNAc and the bioactive agent; and
- (b) incubating a reaction mixture comprising a β (1, 4) galactosyltransferase I variant with the modified saccharide- bioactive agent compound formed in (a) with a targeting compound so as to form the glycoconjugate,

wherein the galactosyltransferase I variant is selected from the group consisting of Y289L, Y289I, and Y289N, wherein the targeting compound is a glycoprotein, glycolipid or carbohydrate, wherein the bioactive agent and targeting compound are joined by a modified UDP-GalNAc, wherein the modified UDP-GalNAc comprises a ketone group attached to the C2 position of the galactose ring.

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